(19) World Intellectual Property Organization International Bureau



(43) International Publication Date 14 December 2000 (14.12.2000)

PCT

(10) International Publication Number WO 00/75120 A1

(51) International Patent Classification⁷: C07D 277/38, 277/62, 417/04, 417/14, C07F 9/6539, A61K 31/426, 31/427, A61P 35/00

(21) International Application Number: PCT/US00/15188

(22) International Filing Date: 2 June 2000 (02.06.2000)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data: 60/137,810 4 June 1999 (04.06.1999) US

(71) Applicant: AGOURON PHARMACEUTICALS, INC. [US/US]; 10350 North Torrey Pines Road, La Jolla, CA 92037-1020 (US).

(72) Inventors: CHU, Shao, Song; 1604 Jerrilynn Place, Encinitas, CA 92024 (US). ALEGRIA, Larry, Andrew; 9632 Galvin Avenue, San Diego, CA 92126 (US). BENDER, Steven, Lee; 915 Reese Street, Oceanside, CA 92054 (US). BENEDICT, Suzanne, Pritchett; 4648 Narragansett Avenue, San Diego, CA 92107 (US). BORCHARDT, Allen, J.; 5419 Via Carancho, San Diego, CA 92111 (US). KANIA, Robert, Steve; 4284 Corte Favor, San Diego, CA 92130 (US). NAMBU, Mitchell, David; 3105 Ducommun Avenue, San Diego, CA 92122 (US). TEMPCZYK-RUSSELL, Anna, Maria; 4785 Caminito

Borrego, San Diego, CA 92130 (US). SARSHAR, Sepehr; 2460 Oxford Avenue, Cardiff by The Sea, CA 92007 (US).

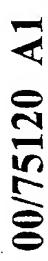
- (74) Agents: PINO, Mark, J.; Shanks & Herbert, TransPotomac Plaza, Suite 306, 1033 North Fairfax Street, Alexandria, VA 22314 et al. (US).
- (81) Designated States (national): AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW.
- (84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).

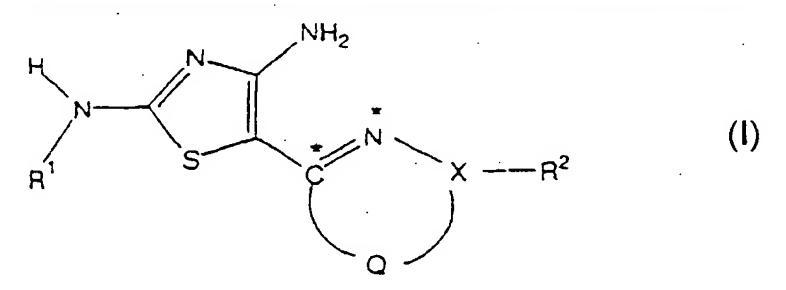
Published:

- With international search report.
- Before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments.

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: DIAMINOTHIAZOLES AND THEIR USE FOR INHIBITING PROTEIN KINASES





(57) Abstract: Diaminothiazole compounds of formula (I) that modulate and/or inhibit the activity of certain protein kinases are described. These compounds and pharmaceutical compositions containing them are capable of mediating tyrosine kinase signal transduction order to in modulate and/or inhibit unwanted cell proliferation. The invention is also directed to the therapeutic or

prophylactic use of pharmaceutical compositions containing such compounds, and to methods of treating cancer as well as other disease states associated with unwanted angiogenesis and/or cellular proliferation, such as diabetic retinopathy, neovascular glaucoma, rheumatoid arthritis, and psoriasis, by administering effective amounts of such compounds.